

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
21 October 2004 (21.10.2004)

PCT

(10) International Publication Number
WO 2004/089277 A3

(51) International Patent Classification⁷: **C07D 493/04**,
A61P 35/00

(21) International Application Number:
PCT/EP2004/050350

(22) International Filing Date: 23 March 2004 (23.03.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
03100991.3 11 April 2003 (11.04.2003) EP

(71) Applicant (for all designated States except US): **PHAR-**
MACIA ITALIA S.p.A. [IT/IT]; Via R. Koch 1.2, I-20152
Milan (IT).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **NICOTRA**,
Francesco [IT/IT]; Viale Umbria 45, I-20135 MILAN
(IT). **GENNARI**, Cesare [IT/IT]; Via A. Lamarmora
3, I-20122 MILAN (IT). **PERI**, Francesco [IT/IT]; Via
G. Piranesi 7, I-20137 MILAN (IT). **CERVI**, Giovanni
[IT/IT]; Via Cavallotti 4, I-22100 COMO (IT). **BATTIS-**
TINI, Carlo [IT/IT]; Via G. Verga 1, I-20026 NOVATE
MILANESE (Milan) (IT).

(74) Agent: **FULLER**, Grover, F. Jr.; c/o **SIMPSON**, Alison,
Elizabeth, Frazer, Urquhart-Dykes & Lord LLP, 30 Wel-
beck Street, London, W1G 8ER (GB).

(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,
ZW.

(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), Euro-
pean (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR,
GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK,
TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,
ML, MR, NE, SN, TD, TG).

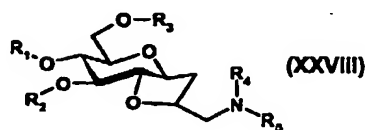
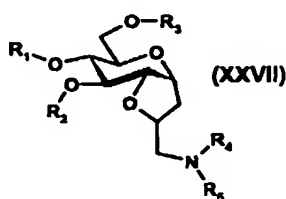
Published:

- with international search report
- before the expiration of the time limit for amending the
claims and to be republished in the event of receipt of
amendments

(88) Date of publication of the international search report:
26 May 2005

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: **FUSED OXABICYCLIC AMINOALCOHOLS AS NEW SCAFFOLDS FOR COMBINATORIAL LIBRARIES**



(57) Abstract: Fused oxabicyclic
aminoalcohols of formula (I) and (II)
processes for their preparation and uses
thereof as scaffolds for preparing libraries
of compounds of formula (XXVII) and
(XXVIII) wherein the meanings of R_1 ,
 R_2 , R_3 , R_4 and R_5 are reported in the
specification, are described.

INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP2004/050350

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07D493/04 A61P35/00

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 C07D A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, CHEM ABS Data, WPI Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 97/34906 A (UNIV PRINCETON) 25 September 1997 (1997-09-25) Claims, figures page 13, paragraph 3	1-22
X	WO 00/20428 A (MASSACHUSETTS INST TECHNOLOGY) 13 April 2000 (2000-04-13) Claims, figures page 6, paragraph 2	1-22
	----- -/--	



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

* Special categories of cited documents:

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- * & * document member of the same patent family

Date of the actual completion of the international search

3 January 2005

Date of mailing of the international search report

24.03.2005

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax (+31-70) 340-3016

Authorized officer

Stroeter, T

INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP2004/050350

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>BORMAN S: "COMBINATORIAL CARBOHYDRATES. PROSPECTS ARE LOOKING SWEET FOR USE OF SUGAR-BASED COMBINATORIAL LIBRARIES TO FIND NOVEL BIOACTIVE SUBSTANCES" CHEMICAL AND ENGINEERING NEWS, AMERICAN CHEMICAL SOCIETY. COLUMBUS, US, vol. 76, no. 29, 20 July 1998 (1998-07-20), pages 49-52, XP000771316 ISSN: 0009-2347 the whole document</p>	1-22
A	<p>CHIAPPE C ET AL: "An efficient stereoselective synthesis of enantiomerically pure aziridine derivatives of allyl beta-d-glucopyranosides asymmetrically induced by a glucide moiety" TETRAHEDRON: ASYMMETRY, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL, vol. 9, no. 22, 27 November 1998 (1998-11-27), pages 4079-4088, XP004144707 ISSN: 0957-4166 the whole document</p>	1-22
A	<p>HARAGUCHI, KAZUHIRO ET AL: "The synthesis of octosyl nucleosides based on intramolecular oxyseleation of a conjugated diene" TETRAHEDRON LETTERS , 39(31), 5517-5520 CODEN: TELEAY; ISSN: 0040-4039, 1998, XP002311809 (studies directed toward the total synthesis of azaspiracid via construction of the C1-C19 carbon backbone) the whole document</p>	1-22

INTERNATIONAL SEARCH REPORT

International application No.
PCT/EP2004/050350

Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

see additional sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☒ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

1-22

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1-22

Claims directed to compounds for use as scaffolds for combinatorial libraries and a chemical library of certain compounds deducted from said scaffolds.

2. claims: 23-25

Claims directed to pharmacological active compounds (defined via a screening method)

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/EP2004/050350

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 9734906	A	25-09-1997	AU 2341297 A	10-10-1997
			CA 2249342 A1	25-09-1997
			EP 0910568 A1	28-04-1999
			JP 2000507247 T	13-06-2000
			WO 9734906 A1	25-09-1997
			US 6040433 A	21-03-2000
WO 0020428	A	13-04-2000	CA 2346237 A1	13-04-2000
			EP 1119577 A2	01-08-2001
			JP 2002526549 T	20-08-2002
			US 2003004322 A1	02-01-2003
			WO 0020428 A2	13-04-2000
			US 6323339 B1	27-11-2001